

## ABSTRACT

This invention provides general methods for discovering mutant inhibitors for any class of enzymes as well as the specific inhibitors so identified. More specifically, this invention provides general methods for discovering specific inhibitors for multi-substrate enzymes. Examples of such multi-substrate enzymes include, but are not limited to, kinases and transferases. The mutant inhibitors identified by the methods of this invention can be used to highly selectively disrupt cell functions such as oncogenic transformation. In one particular example, this invention provides a Src protein kinase inhibitor, pharmaceutical compositions thereof and methods of disrupting transformation in a cell that expresses the target v-src comprising contacting the cell with the protein kinase inhibitor.